

# PATENT COOPERATION TREATY

From the INTERNATIONAL BUREAU

PCT

## NOTIFICATION CONCERNING SUBMISSION OR TRANSMITTAL OF PRIORITY DOCUMENT

(PCT Administrative Instructions, Section 411)

Date of mailing (day/month/year)

08 November 2000 (08.11.00)

Applicant's or agent's file reference

FY3492PC/RT

International application No.

PCT/JP00/06623

International publication date (day/month/year)

Not yet published

### IMPORTANT NOTIFICATION

International filing date (day/month/year)

26 September 2000 (26.09.00)

Priority date (day/month/year)

01 October 1999 (01.10.99)

Applicant

FUJISAWA PHARMACEUTICAL CO., LTD. et al

1. The applicant is hereby notified of the date of receipt (except where the letters "NR" appear in the right-hand column) by the International Bureau of the priority document(s) relating to the earlier application(s) indicated below. Unless otherwise indicated by an asterisk appearing next to a date of receipt, or by the letters "NR", in the right-hand column, the priority document concerned was submitted or transmitted to the International Bureau in compliance with Rule 17.1(a) or (b).
2. This updates and replaces any previously issued notification concerning submission or transmittal of priority documents.
3. An asterisk(\*) appearing next to a date of receipt, in the right-hand column, denotes a priority document submitted or transmitted to the International Bureau but not in compliance with Rule 17.1(a) or (b). In such a case, the attention of the applicant is directed to Rule 17.1(c) which provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.
4. The letters "NR" appearing in the right-hand column denote a priority document which was not received by the International Bureau or which the applicant did not request the receiving Office to prepare and transmit to the International Bureau, as provided by Rule 17.1(a) or (b), respectively. In such a case, the attention of the applicant is directed to Rule 17.1(c) which provides that no designated Office may disregard the priority claim concerned before giving the applicant an opportunity, upon entry into the national phase, to furnish the priority document within a time limit which is reasonable under the circumstances.

<u>Priority date</u>	<u>Priority application No.</u>	<u>Country or regional Office or PCT receiving Office</u>	<u>Date of receipt of priority document</u>
01 Octo 1999 (01.10.99)	PQ3198	AU	27 Octo 2000 (27.10.00)

The International Bureau of WIPO  
34, chemin des Colombettes  
1211 Geneva 20, Switzerland

Facsimile No. (41-22) 740.14.35

Authorized officer

Carlos Naranjo

Telephone No. (41-22) 338.83.38

UN

## PATENT COOPERATION TREATY

From the INTERNATIONAL BUREAU

PCT

NOTIFICATION OF ELECTION  
(PCT Rule 61.2)Date of mailing (day/month/year)  
18 June 2001 (18.06.01)To:  
Commissioner  
US Department of Commerce  
United States Patent and Trademark  
Office, PCT  
2011 South Clark Place Room  
CP2/5C24  
Arlington, VA 22202  
ETATS-UNIS D'AMERIQUE  
in its capacity as elected OfficeInternational application No.  
PCT/JP00/06623Applicant's or agent's file reference  
FY3492PCInternational filing date (day/month/year)  
26 September 2000 (26.09.00)Priority date (day/month/year)  
01 October 1999 (01.10.99)

## Applicant

ITO, Kiyotaka et al

1. The designated Office is hereby notified of its election made: in the demand filed with the International Preliminary Examining Authority on:

16 March 2001 (16.03.01)

 in a notice effecting later election filed with the International Bureau on:

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2. The election  was was not

made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

The International Bureau of WIPO  
34, chemin des Colombettes  
1211 Geneva 20, Switzerland

Facsimile No.: (41-22) 740.14.35

Authorized officer

Maria Kirchner

Telephone No.: (41-22) 338.83.38

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

13

Applicant's or agent's file reference FY3492PC	<b>FOR FURTHER ACTION</b>		See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
International application No. PCT/JP00/06623	International filing date (day/month/year) 26/09/2000	Priority date (day/month/year) 01/10/1999	
International Patent Classification (IPC) or national classification and IPC C07D403/12			
<p><b>Applicant</b> FUJISAWA PHARMACEUTICAL CO., LTD. et al.</p>			

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 6 sheets, including this cover sheet.

This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

- I  Basis of the report
- II  Priority
- III  Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV  Lack of unity of invention
- V  Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI  Certain documents cited
- VII  Certain defects in the international application
- VIII  Certain observations on the international application

Date of submission of the demand 16/03/2001	Date of completion of this report 06.12.2001
Name and mailing address of the international preliminary examining authority: European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized officer Wörth, C Telephone No. +49 89 2399 8726



**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. PCT/JP00/06623

## I. Basis of the report

1. With regard to the elements of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):  
**Description, pages:**

1-39 as originally filed

**Claims, No.:**

1-2 as originally filed

2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- the language of publication of the international application (under Rule 48.3(b)).
- the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- contained in the international application in written form.
- filed together with the international application in computer readable form.
- furnished subsequently to this Authority in written form.
- furnished subsequently to this Authority in computer readable form.
- The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- the description, pages:
- the claims, Nos.:
- the drawings, sheets:

5.  This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. PCT/JP00/06623

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1. Statement

Novelty (N)	Yes: Claims
	No: Claims 1-2
Inventive step (IS)	Yes: Claims
	No: Claims 1-2
Industrial applicability (IA)	Yes: Claims 1-2
	No: Claims

2. Citations and explanations  
see separate sheet

**VIII. Certain observations on the international application**

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:  
see separate sheet

**1. Reference is made to the following documents:**

D1: WO 96 23783 A (SMITHKLINE BEECHAM) 8 August 1996 (1996-08-08)  
D2: US-A-5 077 409 (A.WISSNER) 31 December 1991 (1991-12-31)  
D3: US-A-4 301 169 (MOTOSUKE YAMANAKA) 17 November 1981 (1981-11-17)

**2. Section V: Reasoned statement under Art. 35(2) PCT with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

**2.1 Novelty**

Document D2 discloses on col. 1, line 1 compounds of general formula (I), which apparently overlap with the subject-matter of the present application for

$X = -(CH_2)_n-C(O)-NH-(CH_2)_p-$  with  $n=0$  and  $p=0$

$R_1$  = halogen-substituted phenyl (see col. 2, line 33 and 35)

$R_2$  = hydrogen see col. 2, line 45)

$Y$  = pyridine or imidazole (see col. 4, line 10)

**In view of this overlap, no novelty rendering feature is at present apparent. Accordingly, the requirements of Art. 33(2) are at present considered as not fulfilled.**

In view of document D1, the anilide ( $-C_6H_4-NH-CO-$ ) and the specific heteroaryls as  $R_1$  are considered as a selection out of at least two lists of alternatives. Furthermore,  $R_3$  = indole is also regarded as a selection out of at least 3 lists (X, Y and Z) of alternatives in view of the generic formula (iii) of D1. Accordingly, the subject-matter of the present application is considered as novel (selection) over document D1 in the sense of Art. 33(2) PCT.

The subject-matter of document D3 differs in the definition of radical  $R_7$  compared with  $R_3$  of the present application.

**2.2 Inventive step**

In view of the disclosure of structurally close  $5HT_{2C/2B}$  antagonists, document D1 is

at present considered as closest prior art. This document discloses in general formula (I) on page 1,  $R_4$ -substituted anilides, wherein  $R_4$  is inter alia defined as an indole-derivative of formula (iii). These compounds are described as  $5HT_{2C/2B}$  antagonists and therefore useful for the treatment of CNS disorders (see page 1, line 7-18).

The subject-matter of the present application is at present regarded as a selection in view of the generic disclosure of D1.

Such a selection can only be regarded as inventive, if the selected subject-matter presents unexpected effects or properties in relation to the rest of the range.

In view of the provided data on page 8, in particular with respect to the structurally different reference compound **not comprising the known anilide-core  $C_6H_5-NH-CO-$**  (see examples 83, 118-120 and 169-172 encompassing anilides like *pyridyl-C<sub>6</sub>H<sub>4</sub>-NH-CO-*, *pyridazinyl-C<sub>6</sub>H<sub>4</sub>-NH-CO-*, *pyrimidinyl-C<sub>6</sub>H<sub>4</sub>-NH-CO-* and *pyrazinyl-C<sub>6</sub>H<sub>4</sub>-NH-CO-*), the Applicant has not yet shown, that the claimed compounds are likely to have such an unexpected effect compared to those described in the prior art, in particular the nearest possible compounds, which apparently are represented by examples 83, 118-120 and 169-172 of D1 only differing in a double bond (indoline instead of claimed indole).

**Hence, an inventive step in the sense of Art. 33(3) PCT cannot be acknowledged as no such unexpected effect has as yet been shown.**

Attention is drawn to the point, that only such compounds can be claimed which represent a solution of the problem underlying the application in suit, that is to say showing an unexpected effect. The extent of a reasonable generalisation depends on the credibility that substantially all the alternatives claimed must be a solution to the problem. Extremely broad generalisations like e.g. the definition of  $R_3$  **in view of fluorene as only tested radical** are in contradiction to the basis of qualitative structure-activity-relationships. Taking into account the relevant state of the art and common knowledge, it appears to be not to be predictable, that all alternatives would achieve the technical effect.

**3. Section VIII: Certain observations on the international application**

- 3.1 Contrary to the requirements of Rule 5.1(a)(ii) PCT, documents D1-D3 are not identified nor the relevant background art disclosed therein mentioned.
- 3.2 The wording "lower alkyl" frequently used throughout the claims 1 and 2 has no unambiguous meaning in its technical field - particularly in the upper number of carbon atoms - thereby rendering the scope, for which protection is sought, unclear (see Art. 6 PCT and Guidelines Chapter III, 4.2 and 4.5 PCT).

# PATENT COOPERATION TREATY

From the  
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

To:

NOGAWA, Shintaro  
MINAMIMORIMACHI PARK BLDG,  
1-3 Nishitenma 5-chome, Kita-Ku  
Osaka-shi  
Osaka 530-0047  
JAPON

**PCT**

NOTIFICATION OF TRANSMITTAL OF  
THE INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT  
(PCT Rule 71.1)

Date of mailing (day/month/year)	06.12.2001
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Applicant's or agent's file reference	<b>IMPORTANT NOTIFICATION</b>	
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FY3492PC / RT	<b>IMPORTANT NOTIFICATION</b>	
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International application No. PCT/JP00/06623	International filing date (day/month/year) 26/09/2000	Priority date (day/month/year) 01/10/1999
---	--	--

Applicant FUJISAWA PHARMACEUTICAL CO., LTD. et al.
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1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary examination report and its annexes, if any, established on the international application.
2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.
3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.

**4. REMINDER**

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices) (Article 39(1)) (see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

Name and mailing address of the IPEA/	Authorized officer
---------------------------------------	--------------------

European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Neubauer, M
---	-------------

Tel. +49 89 2399-7272



**PATENT COOPERATION TREATY**  
**PCT**  
**INTERNATIONAL PRELIMINARY EXAMINATION REPORT**  
**(PCT Article 36 and Rule 70)**

Applicant's or agent's file reference  FY3492PC	<b>FOR FURTHER ACTION</b>		See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
International application No.  PCT/JP00/06623	International filing date (day/month/year)  26/09/2000	Priority date (day/month/year)  01/10/1999	
International Patent Classification (IPC) or national classification and IPC  C07D403/12			
Applicant  FUJISAWA PHARMACEUTICAL CO., LTD. et al.			

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 6 sheets, including this cover sheet.

This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

- I  Basis of the report
- II  Priority
- III  Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV  Lack of unity of invention
- V  Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI  Certain documents cited
- VII  Certain defects in the international application
- VIII  Certain observations on the international application

Date of submission of the demand  16/03/2001	Date of completion of this report  06.12.2001
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized officer  Wörth, C  Telephone No. +49 89 2399 8726



INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT

International application No. PCT/JP00/06623

I. Basis of the report

1. With regard to the elements of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):  
Description, pages:

1-39 as originally filed

Claims, No.:

1-2 as originally filed

2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- the language of publication of the international application (under Rule 48.3(b)).
- the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- contained in the international application in written form.
- filed together with the international application in computer readable form.
- furnished subsequently to this Authority in written form.
- furnished subsequently to this Authority in computer readable form.
- The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- the description, pages:
- the claims, Nos.:
- the drawings, sheets:

5.  This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT

International application No. PCT/JP00/06623

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims
	No: Claims 1-2
Inventive step (IS)	Yes: Claims
	No: Claims 1-2
Industrial applicability (IA)	Yes: Claims 1-2
	No: Claims

2. Citations and explanations  
see separate sheet

VIII. Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

see separate sheet

INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT - SEPARATE SHEET

International application No. PCT/JP00/06623

1. Reference is made to the following documents:

D1: WO 96 23783 A (SMITHKLINE BEECHAM) 8 August 1996 (1996-08-08)  
D2: US-A-5 077 409 (A.WISSNER) 31 December 1991 (1991-12-31)  
D3: US-A-4 301 169 (MOTOSUKE YAMANAKA) 17 November 1981 (1981-11-17)

2. Section V: Reasoned statement under Art. 35(2) PCT with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

2.1 Novelty

Document D2 discloses on col. 1, line 1 compounds of general formula (I), which apparently overlap with the subject-matter of the present application for

X =  $-(\text{CH}_2)_n-\text{C}(\text{O})-\text{NH}-(\text{CH}_2)_p-$  with n=0 and p=0

R<sub>1</sub>= halogen-substituted phenyl (see col. 2, line 33 and 35)

R<sub>2</sub>= hydrogen see col. 2, line 45)

Y = pyridine or imidazole (see col. 4, line 10)

In view of this overlap, no novelty rendering feature is at present apparent. Accordingly, the requirements of Art. 33(2) are at present considered as not fulfilled.

In view of document D1, the anilide (-C<sub>6</sub>H<sub>4</sub>-NH-CO-) and the specific heteroaryls as R<sub>1</sub> are considered as a selection out of at least two lists of alternatives. Furthermore, R<sub>3</sub> = indole is also regarded as a selection out of at least 3 lists (X, Y and Z) of alternatives in view of the generic formula (iii) of D1. Accordingly, the subject-matter of the present application is considered as novel (selection) over document D1 in the sense of Art. 33(2) PCT.

The subject-matter of document D3 differs in the definition of radical R<sub>7</sub> compared with R<sub>3</sub> of the present application.

2.2 Inventive step

In view of the disclosure of structurally close 5HT<sub>2C/2B</sub> antagonists, document D1 is

at present considered as closest prior art. This document discloses in general formula (I) on page 1,  $R_4$ -substituted anilides, wherein  $R_4$  is inter alia defined as an indole-derivative of formula (iii). These compounds are described as  $5HT_{2C/2B}$  antagonists and therefore useful for the treatment of CNS disorders (see page 1, line 7-18).

The subject-matter of the present application is at present regarded as a selection in view of the generic disclosure of D1.

Such a selection can only be regarded as inventive, if the selected subject-matter presents unexpected effects or properties in relation to the rest of the range.

In view of the provided data on page 8, in particular with respect to the structurally different reference compound **not comprising the known anilide-core  $C_6H_5-NH-CO-$**  (see examples 83, 118-120 and 169-172 encompassing anilides like *pyridyl-C<sub>6</sub>H<sub>4</sub>-NH-CO-*, *pyridazinyl-C<sub>6</sub>H<sub>4</sub>-NH-CO-*, *pyrimidinyl-C<sub>6</sub>H<sub>4</sub>-NH-CO-* and *pyrazinyl-C<sub>6</sub>H<sub>4</sub>-NH-CO-*), the Applicant has not yet shown, that the claimed compounds are likely to have such an unexpected effect compared to those described in the prior art, in particular the nearest possible compounds, which apparently are represented by examples 83, 118-120 and 169-172 of D1 only differing in a double bond (indoline instead of claimed indole).

**Hence, an inventive step in the sense of Art. 33(3) PCT cannot be acknowledged as no such unexpected effect has as yet been shown.**

Attention is drawn to the point, that only such compounds can be claimed which represent a solution of the problem underlying the application in suit, that is to say showing an unexpected effect. The extent of a reasonable generalisation depends on the credibility that substantially all the alternatives claimed must be a solution to the problem. Extremely broad generalisations like e.g. the definition of  $R_3$  **in view of fluorene as only tested radical** are in contradiction to the basis of qualitative structure-activity-relationships. Taking into account the relevant state of the art and common knowledge, it appears to be not to be predictable, that all alternatives would achieve the technical effect.

**3. Section VIII: Certain observations on the international application**

3.1 Contrary to the requirements of Rule 5.1(a)(ii) PCT, documents D1-D3 are not identified nor the relevant background art disclosed therein mentioned.

3.2 The wording "lower alkyl" frequently used throughout the claims 1 and 2 has no unambiguous meaning in its technical field - particularly in the upper number of carbon atoms - thereby rendering the scope, for which protection is sought, unclear (see Art. 6 PCT and Guidelines Chapter III, 4.2 and 4.5 PCT).

## PATENT COOPERATION TREATY

## PCT

## INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference <b>FY3492PC</b>	<b>FOR FURTHER ACTION</b> see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.	
International application No. <b>PCT/JP 00/06623</b>	International filing date (day/month/year) <b>26/09/2000</b>	(Earliest) Priority Date (day/month/year) <b>01/10/1999</b>
Applicant <b>FUJISAWA PHARMACEUTICAL CO., LTD. et al.</b>		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 2 sheets.

It is also accompanied by a copy of each prior art document cited in this report.

**1. Basis of the report**

a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

b. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international search was carried out on the basis of the sequence listing :

contained in the international application in written form.

filed together with the international application in computer readable form.

furnished subsequently to this Authority in written form.

furnished subsequently to this Authority in computer readable form.

the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished

2.  **Certain claims were found unsearchable** (See Box I).

3.  **Unity of invention is lacking** (see Box II).

4. With regard to the **title**,

the text is approved as submitted by the applicant.

the text has been established by this Authority to read as follows:

5. With regard to the **abstract**,

the text is approved as submitted by the applicant.

the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the **drawings** to be published with the abstract is Figure No. \_\_\_\_\_

as suggested by the applicant.

because the applicant failed to suggest a figure.

because this figure better characterizes the invention.

None of the figures.

# INTERNATIONAL SEARCH REPORT

International Application No

P 00/06623

**A. CLASSIFICATION OF SUBJECT MATTER**

IPC 7 C07D403/12 C07D409/12 C07D237/08 C07D239/26 C07D213/40  
C07D409/14 A61K31/415 A61K31/41 A61P25/06

According to International Patent Classification (IPC) or to both national classification and IPC

**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data, EPO-Internal

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 96 23783 A (SMITHKLINE BEECHAM) 8 August 1996 (1996-08-08) page 63 -page 66; claims; tables ----	1, 2
A	US 5 077 409 A (A. WISSNER) 31 December 1991 (1991-12-31) column 21; claims; examples 39-45 ----	1, 2
A	US 4 301 169 A (MOTOSUKE YAMANAKA) 17 November 1981 (1981-11-17) the whole document -----	1, 2



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

° Special categories of cited documents :

- °A° document defining the general state of the art which is not considered to be of particular relevance
- °E° earlier document but published on or after the international filing date
- °L° document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- °O° document referring to an oral disclosure, use, exhibition or other means
- °P° document published prior to the international filing date but later than the priority date claimed

- °T° later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- °X° document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
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Information on patent family members

International Application No

PCT/EP 00/06623

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 9623783	A	08-08-1996	AP 657 A AT 197300 T AU 699727 B AU 4664696 A BG 101806 A BR 9607016 A CA 2212061 A CZ 9702445 A DE 69610822 D EP 0808312 A ES 2151652 T FI 973205 A HU 9901115 A JP 10513442 T NO 973543 A NZ 301265 A PL 321706 A RO 115522 B SK 103897 A TR 9700749 T US 5990133 A	06-08-1998 15-11-2000 10-12-1998 21-08-1996 30-04-1998 28-10-1997 08-08-1996 16-09-1998 07-12-2000 26-11-1997 01-01-2001 01-10-1997 28-07-1999 22-12-1998 01-10-1997 23-12-1998 22-12-1997 30-03-2000 04-02-1998 21-02-1998 23-11-1999
US 5077409	A	31-12-1991	US 5231182 A	27-07-1993
US 4301169	A	17-11-1981	JP 1373766 C JP 55066562 A JP 61040227 B JP 1434669 C JP 55069567 A JP 62041224 B BE 880020 A CA 1126272 A CH 642635 A DE 2946020 A FR 2441616 A GB 2044754 A, B IT 1127223 B NL 7908331 A SE 447653 B SE 7909371 A US 4402966 A US 4533669 A US 4602031 A	07-04-1987 20-05-1980 08-09-1986 07-04-1988 26-05-1980 02-09-1987 03-03-1980 22-06-1982 30-04-1984 29-05-1980 13-06-1980 22-10-1980 21-05-1986 19-05-1980 01-12-1986 15-05-1980 06-09-1983 06-08-1985 22-07-1986